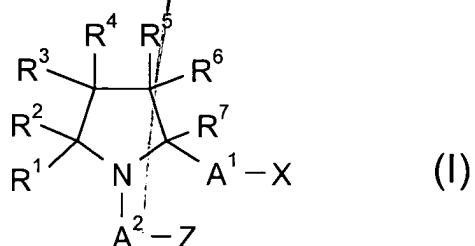


Claims

1. Compounds of general formula (I)



wherein

R^1 to R^7 are independently selected from H, optionally substituted C_{1-6} alkyl, C_{2-6} alkenyl and C_{2-6} alkynyl, optionally substituted aryl or heteroaryl, OH, halogen, CN, OR^{12} , SR^{12} , COR^{12} , $COOR^{12}$, SOR^{12} , SO_2R^{12} , $NR^{13}R^{14}$, $CONR^{13}R^{14}$, $SO_2NR^{13}R^{14}$, where R^{13} and R^{14} are independently selected from H and C_{1-3} alkyl and R^{12} represents C_{1-6} alkyl; two of R^1 to R^7 each may be combined to form a 3- to 6-membered ring system, which ring system may contain one or more heteroatoms; R^1 and R^2 and/or R^3 and R^4 and/or R^5 and R^6 may be replaced by an optionally substituted alkylidene group or =O; and two of R^1 to R^7 which are positioned at adjacent carbon atoms may each be replaced by a C-C bond;

A^1 represents $(-CR^8R^9)_n$, optionally substituted C_{3-6} cycloalkylene or a combination of these groups, R^8 and R^9 being independently selected from H, C_{1-6} alkyl, halogen, OH, OR^{12} and $NR^{13}R^{14}$ and where for $n \geq 2$ R^8 and R^9 may be different in each group and two groups selected from R^8 and R^9 at adjacent C atoms may be replaced by a C-C bond, and a group -O- or -CO- may be positioned between two adjacent groups CR^8R^9 ; and wherein one of R^8 and R^9 may be combined with one of R^1 to R^7 to form a 5- to 7-membered ring structure; and $n = 0, 1, 2, 3$ or 4;

X is COOM or a group which can be converted into COOM under physiological conditions, M representing H or a pharmaceutically acceptable cation;

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A² is (-CR¹⁰R¹¹-)_m, where R¹⁰ and R¹¹ are independently selected from H, C₁₋₂ alkyl and halogen; where for m ≥ 2 the groups R¹⁰ and R¹¹ may be different in each group, a group -O- or -S- may be positioned between two adjacent groups, and two groups selected from R¹⁰ and R¹¹ at adjacent C atoms may be replaced by a C-C bond; and wherein one of R¹⁰ and R¹¹ may be combined with one of R¹ to R⁹ to form a 5- to 7-membered ring structure; and m is 1, 2, 3, or 4;

Z is selected from Y₃CO, Y₂C=CR¹⁵ and Y₂C=N-O, where R¹⁵ is H, C₁₋₃ alkyl or halogen and the groups Y independently are optionally substituted C₆₋₁₂ aryl or optionally substituted C₂₋₅ heteroaryl having up to three heteroatoms selected from N, O and S, and the groups Y may be linked by a covalent bond or by groups between atoms belonging to different groups Y, said groups selected from -O-, -S-, -NH-, -O-, -CH=CH-, -CH=N-, -CH₂- and -CH₂CH₂-;

as well as the individual stereoisomers of these compounds.

2. Compounds according to claim 1, wherein R⁷ is hydrogen and R¹ to R⁶ are independently selected from optionally substituted C₁₋₃ alkyl, halogen, OH, CN, optionally substituted phenyl and optionally substituted heteroaryl having 5 to 10 ring members and one or two heteroatoms selected from O, N and S, and in particular from hydrogen, C₁₋₃ alkyl and phenyl.

3. Compounds according to any one of claims 1 and 2, wherein all of R¹ to R⁷ represent hydrogen.

4. Compounds according to any one of claims 1 to 3, wherein A¹ is (-CR⁸R⁹-)_n, R⁸ and R⁹ being independently selected from H and C₁₋₃ alkyl and being particularly hydrogen and n having a value of 0, 1 or 2, in particular of 1 or 2.

5. Compounds according to any one of claims 1 to 4, wherein X is COOM, with M = H, Na, K, NH₄, Ca_{0.5} or Mg_{0.5}, and preferably H or Na.

6. Compounds according to any one of claims 1 to 5, wherein R^{10} and R^{11} are independently selected from H and C_{1-2} alkyl, and preferably are both H, and m is 2 or 3, in particular 2.

7. Compounds according to any one of claims 1 to 6, wherein Z is Y_2CO and the groups Y, which preferably are the same, are phenyl which optionally is substituted with one or two substituents, the substituents being selected from C_{1-3} alkoxy, C_{1-3} alkyl, halogen, OH, NO_2 , CN and $NR^{13}R^{14}$ and R^{13} and R^{14} are defined as in claim 1.

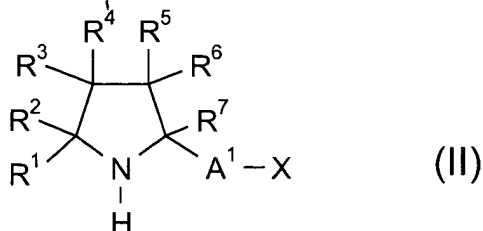
8. Compounds according to claim 7, wherein the phenyl radicals are mono- or disubstituted and the substituents are preferably selected from C_{1-2} alkoxy, in particular methoxy, and C_{1-2} alkyl, in particular methyl.

9. Compounds according to any one of claims 1 to 6, wherein Z is $Y_2C=CR^{15}$ or $Y_2C=N-O$, the groups Y being preferably the same and representing optionally substituted phenyl or optionally substituted heteroaryl having 5 or 6 ring members and one or two heteroatoms selected from O, N and S and R^{15} is H or CH_3 , preferably H.

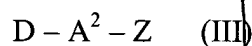
10. Compounds according to claim 9, wherein the radicals Y carry 0, 1 or 2 substituents, the substituents being selected from C_{1-3} alkyl, C_{1-3} alkoxy, halogen, OH, NO_2 , CN and $NR^{13}R^{14}$, as defined in claim 1.

11. Compounds according to any one of claims 1 - 10, wherein the substituents Y are the same and are selected from phenyl, 4-methoxyphenyl and 3-methyl-2-thienyl.

12. Process for the preparation of a compound of general formula (I), according to claim 1, wherein a compound of general formula (II)



wherein R^1 to R^7 , A^1 and X are as defined in claim 1 is reacted with a compound of the general formula (III):



wherein A^2 and Z are defined as in claim 1 and D represents a group which can react with the group N-H of the compound of general formula (II) to form HD, in particular halogen.

13. Pharmaceutical composition, comprising at least one pharmaceutically acceptable carrier or excipient and at least one compound of general formula (I) as defined in any one of claims 1 to 11.

14. Compounds according to any one of claims 1 to 11 for use in a method for the treatment of the human or animal body.

15. Use of the compounds according to any one of claims 1 to 11 for the manufacture of a medicament for the treatment of diseases which can be ameliorated or cured by an amplification of the GABAergic neurotransmission.

TECHNICAL STAFF

Reddy